## CLAIMS

1. A method for the preparation of a pharmaceutical particulate composition for modified release of one or more therapeutically, prophylactically and/or diagnostically active substances, the method comprising

spraying a first composition comprising an oily material, which has a melting point of about 5 °C or more such as, e.g., about 10 °C or more, about 20°C or more or about 25 °C or more and which is present in the first composition in liquid form, on a second composition comprising a material in solid form, the second composition having a temperature of at the most a temperature corresponding to the melting point of the oily material and/or of the first composition such as, e.g., a temperature of at least about 2 °C, at least about 5 °C or at least about 10 °C lower than the melting point of the oily material and/or of the first composition,
optionally, mixing or other means of mechanical working the second composition onto which the first composition is sprayed to obtain a particulate material,
optionally, adding one or more release-rate modifier,
mixing or other means of mechanical working the second composition - including, if relevant, the added one or more release-rate modifying substances - onto which the first composition is sprayed to obtain a particulate composition,

the particulate composition comprising a sufficient amount of at least one release-rate modifier to provide a modified release of the active substance sufficient to provide a duration of therapeutic, prophylactic and/or diagnostic effect of at least about 2 hours such as, e.g., at least about 3 hours, at least about 4 hours, at least about 5 hours, at least about 6 hours, at least about 7 hours, at least about 8 hours, at least about 9 hours, at least about 10 hours, at least about 11 hours, at least about 12 hours, at least about 13 hours, at least about 15 hours, at least about 17 hours, at least about 20 hours, at least about 22 hours or at least about 24 hours when the composition is exposed to an aqueous environment.

2. A method for the preparation of a pharmaceutical particulate composition for modified release of one or more therapeutically, prophylactically and/or diagnostically active substances, the method comprising

spraying a first composition comprising an oily material, which has a melting point of about 5 °C or more such as, e.g., about 10 °C or more, about 20°C or more or about 25 °C or more and which is present in the first composition in liquid form, on a second composition comprising a material in solid form, the second composition having a temperature of at the

most a temperature corresponding to the melting point of the oily material and/or of the first composition such as, e.g., a temperature of at least about 2 °C, at least about 5 °C or at least about 10 °C lower than the melting point of the oily material and/or of the first composition,
optionally, mixing or other means of mechanical working the second composition onto which the first composition is sprayed to obtain a particulate material,
optionally, adding one or more release-rate modifier,
mixing or other means of mechanical working the second composition - including, if relevant, the added one or more release-rate modifying substances - onto which the first composition is sprayed to obtain a particulate composition,

the particulate composition comprising a sufficient amount of at least one release-rate modifier to provide a modified release of the active substance sufficient to provide a dissolution rate *in vitro* of the particulate composition, which - when measured according to USP dissolution test (paddle) employing water as dissolution medium, 100 rpm and a temperature of about 37 °C permits release of less than 85% w/w within about 30 min after start of the test.

3. A method according to claim 2, wherein less than about 80% w/w such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w, less than about 50% w/w, less than about 45% w/w, less than about 40% w/w, less than about 35% w/w, less than about 30% w/w or less than about 25% w/w is released within about 30 min after start of the test.

4. A method according to claim 2 or 3, wherein less than 85% w/w is released within the first hours, within about 2 hours, within about 3 hours, within about 4 hours, within about 5 hours or within about 6 hours after start of the test.

5. A method according to claim 4, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w, less than about 50% w/w or less than about 45% w/w is released within the first hour after start of the test.

6. A method according to claim 4, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w or less than about 50% w/w is released within 2 hours after start of the test.

7. A method according to claim 4, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w or less than about 50% w/w is released within 3 hours after start of the test.

8. A method according to claim 4, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w or less than about 60% w/w is released within 6 hours after start of the test.

9. A method according to claim 2 or 3, wherein less than 75% w/w is released within about 7 hours, within about 8 hours, within about 9 hours, within about 10 hours, within about 11 hours or within about 12 hours after start of the test.

10. A method according to claim 9, wherein less than 70% w/w or less than about 65% w/w is released within about 7 hours, within about 8 hours, within about 9 hours, within about 10 hours, within about 11 hours or within about 12 hours after start of the test.

11. A method according to claim 9 or10, wherein more than 20% w/w such as, e.g., more than about 25% w/w, more than about 30% w/w, more than about 35% w/w or more than about 40% w/w is released within about 7 hours, within about 8 hours, within about 9 hours, within about 10 hours, within about 11 hours or within about 12 hours after start of the test.

12. A method according to any of claims 2-11, wherein more than 20% w/w such as, e.g., more than about 25% w/w, more than about 30% w/w, more than about 35% w/w, more than about 40% w/w, more than about 45% w/w, more than about 50% w/w, more than about 55% w/w or more than about 60% w/w is released within about 15 hours, within about 20 hours or within about 24 hours after start of the test.

13. A method for the preparation of a pharmaceutical particulate composition for modified release of one or more therapeutically, prophylactically and/or diagnostically active substances, the method comprising

spraying a first composition comprising an oily material, which has a melting point of about 5 °C or more such as, e.g., about 10 °C or more, about 20°C or more or about 25 °C or more and which is present in the first composition in liquid form, on a second composition comprising a material in solid form, the second composition having a temperature of at the most a temperature corresponding to the melting point of the oily material and/or of the first

composition such as, e.g., a temperature of at least about 2 °C, at least about 5 °C or at least about 10 °C lower than the melting point of the oily material and/or of the first composition, optionally, mixing or other means of mechanical working the second composition onto which the first composition is sprayed to obtain a particulate material,

optionally, adding one or more release-rate modifier,

mixing or other means of mechanical working the second composition - including, if relevant, the added one or more release-rate modifying substances - onto which the first composition is sprayed to obtain a particulate composition,

the particulate composition comprising a sufficient amount of at least one release-rate modifier so that following ingestion by a subject in need thereof the active substance is released in the gastrointestinal tract of the mammal at a rate so that less than 85% w/w is released within the first 30 min after ingestion.

14. A method according to claim 13, wherein less than about 80% w/w such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w, less than about 50% w/w, less than about 45% w/w, less than about 40% w/w, less than about 35% w/w, less than about 30% w/w or less than about 25% w/w is released within about 30 min after ingestion.

15. A method according to claim 13 or 14, wherein less than 85% w/w is released within the first hours, within about 2 hours, within about 3 hours, within about 4 hours, within about 5 hours or within about 6 hours after ingestion.

16. A method according to claim 15, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w, less than about 50% w/w or less than about 45% w/w is released within the first hour after ingestion.

17. A method according to claim 15, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than about 60% w/w, less than about 55% w/w or less than about 50% w/w is released within 2 hours after ingestion.

18. A method according to claim 15, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w, less than

about 60% w/w, less than about 55% w/w or less than about 50% w/w is released within 3 hours after ingestion.

19. A method according to claim 15, wherein less than 80% w/w is released such as, e.g., less than about 75% w/w, less than about 70% w/w, less than about 65% w/w or less than about 60% w/w is released within 6 hours after ingestion.

20. A method according to claim 13 or 14, wherein less than 75% w/w is released within about 7 hours, within about 8 hours, within about 9 hours, within about 10 hours, within about 11 hours or within about 12 hours after ingestion.

21. A method according to claim 20, wherein less than 70% w/w or less than about 65% w/w is released within about 7 hours, within about 8 hours, within about 9 hours, within about 10 hours, within about 11 hours or within about 12 hours after ingestion.

22. A method according to claim 13 or14, wherein more than 20% w/w such as, e.g., more than about 25% w/w, more than about 30% w/w, more than about 35% w/w or more than about 40% w/w is released within about 7 hours, within about 8 hours, within about 9 hours, within about 10 hours, within about 11 hours or within about 12 hours after ingestion.

23. A method according to any of claims 13-22, wherein more than 20% w/w such as, e.g., more than about 25% w/w, more than about 30% w/w, more than about 35% w/w, more than about 40% w/w, more than about 45% w/w, more than about 50% w/w, more than about 55% w/w or more than about 60% w/w is released within about 15 hours, within about 20 hours or within about 24 hours after ingestion.

24. A method according to any of the preceding claims, wherein the bioavailability (measured as $AUC_{0-\infty}$) of the active substance after oral administration of the particulate composition to a subject is at least about 50% such as, e.g., at least about 55%, at least about 60%, at least about 65%, at least about 70%, at least about 75%, at least about 80%, at least about 80%, at least about 85%, at least about 90% compared to the bioavailability of the active substance after oral administration of a particulate composition obtained in analogues matter but without any release-rate modifier.

25. A method according to any of the preceding claims, wherein the active substance has a bioavailability of less than about 50% when administered to a subject in the form of plain tablets.

26. A method according to any of the preceding claims, wherein the active substance has a water-solubility at room temperature of at the most about 10 mg/ml such as, e.g., at the most about 7.5 mg/ml, at the most about 6 mg/ml, at the most about 5 mg or at the most about 4 mg/ml.

27. A method according to any of the preceding claims, wherein the active substance has a water-solubility at room temperature of at the most about 3 mg/ml such as, e.g., at the most about 2 mg/ml, at the most about 1 mg/ml, at the most about 750 µg/ml, at the most about 500 µg/ml, at the most about 250 µg/ml, at the most about 100 µg/ml, or at the most about 50 µg/ml, or at the most about 25 µg/ml, or at the most about 20 µg/ml or or at the most about 10 µg/ml.

28. A method according to any of the preceding claims, wherein the active substance has a $t_{½}$ in plasma of at the most about 8 hours.

29. A method according to any of the preceding claims, wherein the active substance is subject to first-pass metabolism.

30. A method according to any of the preceding claims, wherein the active substance is subject to degradation in the gastrointestinal tract.

31. A method according to any of the preceding claims, wherein the active substance is subject to enzymatic degradation is the stomach, duodenum and/or proximal part of ileum.

32. A method according to any of the preceding claims, wherein the active substance is subject to food effect.

33. A method according to any of the preceding claims, wherein a release-rate modifier is present in the first composition.

34. A method according to any of the preceding claims, wherein a release-rate modifier is present in the second composition.

35. A method according to any of the preceding claims, wherein step iii) is included and the release-rate modifier is added to the second composition after the first composition has been applied thereto.

36. A method according to any of the preceding claims, wherein the particulate material obtained has a geometric weight mean diameter $d_{gw}$ of ≥ 10 µm such as, e.g. ≥ 20 µm, from about 20 to about 2000, from about 30 to about 2000, from about 50 to about 2000, from about 60 to about 2000, from about 75 to about 2000 such as, e.g. from about 100 to about 1500 µm, from about 100 to about 1000 µm or from about 100 to about 700 µm, or at the most about 400 µm or at the most 300 µm such as, e.g., from about 50 to about 400 µm such as, e.g., from about 50 to about 350 µm, from about 50 to about 300 µm, from about 50 to about 250 µm or from about 100 to about 300 µm.

37. A method according to any of the preceding claims, wherein step iii) is included and the release-rate modifier is sprayed to the second composition after the first composition has been applied thereto.

38. A method according to claim 37, wherein the release-rate modifier is applied in the form of a coating composition.

39. A method according to any of the preceding claims, wherein the method is carried out in a high or low shear mixer or in a fluid bed.

40. A method according to any of the preceding claims, wherein the process is carried out in a fluid bed and the spraying of the first composition is performed on the second composition in a fluidised state.

41. A method according to claim 40, wherein the spraying is performed through a spraying device equipped with temperature controlling means.

42. A method according to any of the preceding claims, the method being a one-pot method.

43. A method according to any of the preceding claims, wherein the concentration of the oily material in the particulate material is from about 5 to about 95% v/v such as, e.g. from about 5 to 90% v/v, from about 5 to about 85% v/v, from about 5 to about 80% v/v, from about 10 to about 75% v/v, from about 15 to about 75% v/v, from about 20 to abut 75% v/v, from about 25% to about 75% v/v, from about 30% to about 75% v/v, from about 35% to about 75% v/v, from about 25% to about 70% v/v, from about 30% to about 70% v/v, from about 35% to abut 70 % v/v, from about 40% to about 70% v/v, from about 45% to about 65% v/v or from about 45% to about 60% v/v.

44. A method according to any of the preceding claims, wherein the oil or oily like material is brought on liquid form by heating the first composition to a temperature, which causes the oily material to melt.

45. A method according to claim 44, wherein the first composition in liquid form has a viscosity (Brookfield DV-III) of at the most about 800 mPas at a temperature of at the most 100 °C such as, e.g., at the most 700, at the most 600, at the most 500 mPas.

46. A method according to any of the preceding claims, wherein the first composition is essentially non-aqueous and it contains at the most about 20% w/w water such as at the most about 15% w/w, at the most abut 10% w/w, at the most about 5% w/w or at the most about 2.5% w/w.

47. A method according to any of the preceding claims, wherein the oily material has a melting point of at least about 30 °C such as, e.g., at least about 35 °C or at least about 40 °C.

48. A method according to any of the preceding claims, wherein the oily material has a melting point of at the most about 300 °C such as, e.g., at the most about 250 °C, at the most about 200 °C, at the most about 150°C or at the most about 100 °C.

49. A method according to any of the preceding claims, wherein the first composition comprises one or more pharmaceutically acceptable excipients.

50. A method according to any of the preceding claims, wherein the second composition comprises one or more pharmaceutically acceptable excipients.

51. A method according to claim 49 or 50, wherein the pharmaceutically acceptable excipient is selected from the group consisting of fillers, binders, disintegrants, glidants, colouring agents, taste-masking agents, pH-adjusting agents, solubilizing agents, stabilising agents, wetting agents, surface active agents, antioxidants etc.

52. A method according to any of the preceding claims, wherein the first and/or second composition comprises one or more, the same or different, therapeutically, prophylactically and/or diagnostically active substances.

53. A method according to any of the preceding claims, wherein an active substance is dispersed such as, e.g., dissolved in the first composition.

54. A method according to any of the preceding claims further comprising a step of processing the particulate composition obtained optionally together with one or more pharmaceutically acceptable excipients into a solid dosage form.

55. A method according to claim 54, wherein the solid dosage form is selected from tablets, capsules, sachets and the like.

56. A method according to claim 54 or 55, wherein the solid dosage form is provided with a coating.

57. A method according to claim 56, wherein the coating is selected from film-coatings, modified release coatings, enteric coatings, sugar coatings, taste-masking coatings etc.

58. A method according to any of claims 54-57, wherein the release of active substance from the dosage form follows the same patterns as claimed in any of claims 2-23.

59. A method for preparing a solid composition comprising a drug substance and a release-rate modifying substance, the method comprising the steps of
i) selecting a first composition comprising an oily material having a melting point of at least 5°C,
ii) optionally bringing the first composition in liquid form,
iii) dispersing or dissolving a drug substance in the liquid first composition at a temperature below the melting point of the drug substance,
iv) spraying the resulting first composition onto a solid second composition having a temperature below the melting point of the first composition,
v) adding a release-modifying substance to the resulting composition
vi) mechanically working the composition to obtain particles, i.e. a particulate material, and
vii) optionally subjecting the particulate material to conventional methods for preparing solid dosage forms.

60. A particulate material obtainable according to a process claimed in any of claims 1-53.

61. A particulate material according to claim 60 for pharmaceutical or cosmetic use.

62. A particulate material according to claims 60 or 61 for use in the preparation of a solid dosage form.

63. A particulate material according to claim 60 for use in the preparation of tablets.

64. A pharmaceutical composition comprising a particulate material obtainable by a process as claimed in any of claims 1-53.

65. A pharmaceutical composition according to claim 64 in the form of a fluid, semi-solid or solid composition.

66. A pharmaceutical composition according to claim 65 in the form of powders, tablets, capsules or sachets.

67. A pharmaceutical composition according to claim 65 in the form of a liquid such as, e.g., a solution or a dispersion including an emulsion and a suspension.

68. A solid dosage form obtainable by the method claimed in any of claims 53-58.